```
C:\Program Files\Stnexp\Queries\10042619.str
```

```
chain nodes :
18 20 21 22 25 26
ring nodes :
    1 2 3
               5 6 7 8 9 10 11 12 13 14 15 16 17 27 28 29 30 31 32
chain bonds:
    5-9 14-20 15-25 17-18 20-21 20-22 25-26
    1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-17 14-15 15-16 16-17 27-28 27-32 28-29 29-30 30-31 31-32
exact/norm bonds :
    1-2 1-6 2-3 3-4 4-5 5-6 5-9 13-14 13-17 14-15 14-20 15-16 16-17 17-18 20-21
    20-22 25-26
exact bonds
   15-25 25-30
normalized bonds:
    7-8 7-12 8-9 9-10 10-11 11-12 27-28 27-32 28-29 29-30 30-31 31-32
isolated ring systems :
   containing 1:7:27:
```

G1:H,CH3

Match level:
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 25:CLASS 26:CLASS 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom

Uploading 10042619.str

L1 STRUCTURE UPLOADED

=> s l1

SAMPLE SEARCH INITIATED 12:58:32 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 19 TO ITERATE

100.0% PROCESSED 19 ITERATIONS

5 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

119 TO 641

PROJECTED ANSWERS:

5 TO 234

L2

5 SEA SSS SAM L1

=> d 12 1-5

L2 ANSWER 1 OF 5 REGISTRY COPYRIGHT 2003 ACS

RN 443914-79-2 REGISTRY

CN Methanone, [4-amino-2-[[4-[4-(3-hydroxypropyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3-methylphenyl)- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C24 H29 N5 O2 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

HO-
$$(CH_2)_3$$

NH
NH2

C=0

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)

L2 ANSWER 2 OF 5 REGISTRY COPYRIGHT 2003 ACS

RN 443914-73-6 REGISTRY

CN Methanone, [4-amino-2-[[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl][4-(1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C28 H36 N6 O S

CI COM

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)

L2 ANSWER 3 OF 5 REGISTRY COPYRIGHT 2003 ACS

RN 443914-60-1 REGISTRY

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl][3-nitro-4-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C25 H29 N7 O3 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)

L2 ANSWER 4 OF 5 REGISTRY COPYRIGHT 2003 ACS

RN 443914-04-3 REGISTRY

CN Methanone, [4-amino-2-[[4-(1-piperazinyl)phenyl]amino]-5-thiazolyl](3,4,5-trifluorophenyl)- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C20 H18 F3 N5 O S

CI COM

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)

L2 ANSWER 5 OF 5 REGISTRY COPYRIGHT 2003 ACS

RN 223784-92-7 REGISTRY

CN 1-Piperazinecarboxylic acid, 4-[4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C25 H27 F2 N5 O3 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)

=> s l1 sss full

FULL SEARCH INITIATED 12:59:54 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 448 TO ITERATE

100.0% PROCESSED 448 ITERATIONS

SEARCH TIME: 00.00.01

140 ANSWERS

L3

140 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL SESSION

FULL ESTIMATED COST

ENTRY 157.75

157.96

FILE 'CAPLUS' ENTERED AT 13:00:00 ON 30 MAY 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 30 May 2003 VOL 138 ISS 23 FILE LAST UPDATED: 29 May 2003 (20030529/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4

3 L3

=> d 14 1-3 bib abs hitstr

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ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS
L4
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AN 2002:555489 CAPLUS

137:125180 DN

Preparation of 4-amino-5-benzoyl-2-(piperazinylphenylamino)thiazoles as ΤI inhibitors of cyclin-dependent kinase 4.

IN Chen, Li; Ding, Qingjie; Gillespie, Paul; Kim, Kyungjin; Lovey, Allen John; McComas, Warren William; Mullin, John Guilfoyle, Jr.; Perrotta, Agostino

PA F. Hoffmann-La Roche A.-G., Switz.

so PCT Int. Appl., 150 pp. CODEN: PIXXD2

DTPatent

English LA

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		PATENT NO.				KIND		DATE			APPLICATION NO.					DATE				
	PI	WO 2002057261 WO 2002057261					20020725			WO 2002-EP506					20020118					
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AB Title compds. [I; R1 = H; (substituted) alkyl, cycloalkyl, COR9, SO2R10; R2 = H, F, Cl, Me; R3-R5 = H, (substituted) alkyl, halo, NO2, cyano, OCF3, OCHF2, etc.; R3R4 = atoms to form a (heterocyclyl) ring; R9 = H, alkyl, hydroxyalkyl, haloalkyl; R10 = alkyl, chloroalkyl, fluoroalkyl, amino; with provisos], were prepd. Thus, 1-(4-isothiocyanatophenyl)-4methylpiperazine (prepn. given), cyanamide, and KOCMe3 were stirred 30 min. in MeCN/Me3COH. 2-Bromo-1-(2,3-dihydro-1,4-benzodioxin-6-yl)ethanone was added followed by stirring for 3 days to give 66% [4-amino-2-[4-(4methyl-1-piperazinyl)phenyl]amino-5-thiazolyl](2,3-dihydro-1,4-benzodioxin-6-yl) methanone. The latter inhibited CDK4 with IC50 = 0.059 .mu.M.

Ι

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IT
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     443914-03-2P 443914-04-3P 443914-05-4P
     443914-06-5P 443914-07-6P 443914-08-7P
     443914-09-8P 443914-10-1P 443914-11-2P
     443914-12-3P 443914-13-4P 443914-14-5P
     443914-15-6P 443914-16-7P 443914-17-8P
     443914-18-9P 443914-19-0P 443914-20-3P
     443914-21-4P 443914-22-5P 443914-23-6P
     443914-24-7P 443914-25-8P 443914-26-9P
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     443914-33-8P 443914-34-9P 443914-35-0P
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     443914-42-9P 443914-43-0P 443914-44-1P
     443914-45-2P 443914-46-3P 443914-47-4P
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     443914-56-5P 443914-57-6P 443914-58-7P
     443914-59-8P 443914-60-1P 443914-61-2P
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     443914-65-6P 443914-67-8P 443914-69-0P
     443914-70-3P 443914-71-4P 443914-72-5P
     443914-73-6P 443914-74-7P 443914-75-8P
     443914-76-9P 443914-78-1P 443914-79-2P
     443914-80-5P 443914-81-6P 443914-82-7P
     443914-83-8P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (claimed compd.; prepn. of 4-amino-5-benzoyl-2-
        (piperazinylphenylamino)thiazoles as inhibitors of cyclin-dependent
        kinase 4)
RN
     443914-00-9 CAPLUS
     Methanone, [4-amino-2-[[4-[4-(2-hydroxyethyl)-1-piperazinyl]phenyl]amino]-
CN
     5-thiazolyl] (3,5-difluorophenyl) - (9CI) (CA INDEX NAME)
```

RN 443914-01-0 CAPLUS
CN Methanone, [4-amino-2-[[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3,5-difluorophenyl)- (9CI) (CA INDEX NAME)

RN 443914-02-1 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(2-methoxyethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3,5-difluorophenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO-CH}_2\text{-CH}_2 \\ \\ \text{NH} \\ \\ \text{S} \\ \\ \text{F} \\ \end{array}$$

RN 443914-03-2 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl](3,5-difluorophenyl)- (9CI) (CA INDEX NAME)

RN 443914-04-3 CAPLUS

CN Methanone, [4-amino-2-[[4-(1-piperazinyl)phenyl]amino]-5-thiazolyl](3,4,5-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 443914-05-4 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl](3,4-dichlorophenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ N & & & \\ N & & \\ N$$

RN 443914-06-5 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(2-hydroxyethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3-fluorophenyl)- (9CI) (CA INDEX NAME)

HO-
$$CH_2$$
- CH_2

NH
NH2

RN 443914-07-6 CAPLUS

CN Methanone, [4-amino-2-[[4-(1-piperazinyl)phenyl]amino]-5-thiazolyl](3-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 443914-08-7 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(3-hydroxypropyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 443914-09-8 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 443914-10-1 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3,4,5-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 443914-11-2 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(2-methoxyethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3,4-difluorophenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{MeO-CH}_2-\text{CH}_2 & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 443914-12-3 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(1-methylpropyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 443914-13-4 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(cyclopropylmethyl)-1 piperazinyl]phenyl]amino]-5-thiazolyl](3-fluorophenyl)- (9CI) (CA INDEX
 NAME)

RN 443914-14-5 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl] (4-hydroxyphenyl)- (9CI) (CA INDEX NAME)

RN 443914-15-6 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl] (4-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 443914-16-7 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl](3-fluoro-4-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 443914-17-8 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl](3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 443914-18-9 CAPLUS

CN Methanone, [4-amino-2-[[3-fluoro-4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl](3,5-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

RN 443914-19-0 CAPLUS

CN Methanone, [4-amino-2-[[3-fluoro-4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl] (3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 443914-20-3 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 443914-21-4 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3,5-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

RN 443914-22-5 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(2-hydroxyethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 443914-23-6 CAPLUS

CN Methanone, [4-amino-2-[[4-(1-piperazinyl)phenyl]amino]-5-thiazolyl](3-fluoro-4-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 443914-24-7 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(3-hydroxypropyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 443914-25-8 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(2-methoxyethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3-fluoro-4-methoxyphenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO-CH}_2\text{-CH}_2 \\ \\ \text{NH} \\ \\ \text{S} \\ \\ \text{OMe} \\ \end{array}$$

RN 443914-26-9 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](4-fluoro-3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 443914-27-0 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3-fluoro-4-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 443914-28-1 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl][4-(difluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 443914-29-2 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl][3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 443914-30-5 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(2-methoxyethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 443914-31-6 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl](3,5-difluoro-4-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 443914-32-7 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl](4-fluoro-3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 443914-33-8 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl][3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

Me
$$NH \longrightarrow NH_2$$
 $C \longrightarrow O$
 F_3C-O

RN 443914-34-9 CAPLUS

CN Methanone, [4-amino-2-[[4-(1-piperazinyl)phenyl]amino]-5-thiazolyl](3,5-difluoro-4-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 443914-35-0 CAPLUS

CN Methanone, [4-amino-2-[[4-(1-piperazinyl)phenyl]amino]-5-thiazolyl](4-fluoro-3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 443914-36-1 CAPLUS

CN Methanone, [4-amino-2-[[4-(1-piperazinyl)phenyl]amino]-5-thiazolyl][3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 443914-37-2 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl][3-(difluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 443914-38-3 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3-hydroxyphenyl)- (9CI) (CA INDEX NAME)

RN 443914-39-4 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(2-methylpropyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3-hydroxyphenyl)- (9CI) (CA INDEX NAME)

RN 443914-40-7 CAPLUS

CN Methanone, [4-amino-2-[[4-(1-piperazinyl)phenyl]amino]-5-thiazolyl][3-(difluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 443914-41-8 CAPLUS
CN Methanone, [4-amino-2-[[4-[4-(cyclopropylmethyl)-1 piperazinyl]phenyl]amino]-5-thiazolyl][3-(difluoromethoxy)phenyl]- (9CI)
 (CA INDEX NAME)

RN 443914-42-9 CAPLUS
CN Methanone, [4-amino-2-[[4-[4-(cyclopropylmethyl)-1 piperazinyl]phenyl]amino]-5-thiazolyl](3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 443914-44-1 CAPLUS
CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl][3-(difluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

Me NH
$$\sim$$
 NH \sim NH \sim

RN 443914-45-2 CAPLUS
CN Methanone, [4-amino-2-[[4-[4-(cyclopropylmethyl)-1piperazinyl]phenyl]amino]-5-thiazolyl](3-fluoro-4-methoxyphenyl)- (9CI)
(CA INDEX NAME)

RN 443914-46-3 CAPLUS
CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5thiazolyl](3-hydroxyphenyl)- (9CI) (CA INDEX NAME)

RN 443914-47-4 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl][4-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 443914-48-5 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl][4-(1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)

CN

RN 443914-50-9 CAPLUS

Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl][4-(4-morpholinyl)phenyl]-, monoacetate (9CI) (CA INDEX NAME)

CM :

CRN 443914-49-6 CMF C25 H30 N6 O2 S

CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 443914-51-0 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl](3-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 443914-52-1 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl][4-(diethylamino)phenyl]- (9CI) (CA INDEX NAME)

RN 443914-53-2 CAPLUS

CN Benzamide, 4-[[4-amino-2-[[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 443914-54-3 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl][4-(diethylamino)phenyl]- (9CI) (CA INDEX NAME)

RN 443914-56-5 CAPLUS

CN Piperazine, 1-acetyl-4-[4-[4-amino-5-[4-(diethylamino)benzoyl]-2-thiazolyl]amino]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 443914-55-4 CMF C26 H32 N6 O2 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 443914-57-6 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(2-hydroxyethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl][4-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 443914-58-7 CAPLUS

CN Methanone, [4-amino-2-[[4-(1-piperazinyl)phenyl]amino]-5-thiazolyl][4-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 443914-59-8 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl][4-[(2-hydroxyethyl)amino]-3-nitrophenyl]- (9CI) (CA INDEX NAME)

Me
$$NH_2$$
 NH_2
 NH_2

RN 443914-60-1 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl][3-nitro-4-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O_2N & O & S & NH & NM & Me \\ \hline \\ N & N & NM & Me \\ \end{array}$$

RN 443914-61-2 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl][4-(4-morpholinyl)-3-nitrophenyl]- (9CI) (CA INDEX NAME)

RN 443914-62-3 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl][4-[(2-methoxyethyl)amino]-3-nitrophenyl]- (9CI) (CA INDEX NAME)

Me NH NH2
$$O_2N$$
 O_2N $MeO-CH_2-CH_2-NH$

RN 443914-63-4 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5thiazolyl][4-[3-(hydroxymethyl)-1-piperidinyl]-3-nitrophenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} & & & \\ & &$$

RN 443914-64-5 CAPLUS
CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5thiazolyl] [4-(2-methyl-1-pyrrolidinyl)-3-nitrophenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O_2N & O_1 & O_2N & O_3 & O_4N & O_5N & O_$$

RN 443914-65-6 CAPLUS
CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5thiazolyl] [4-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-3-nitrophenyl](9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 443914-67-8 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl][4-(4-hydroxy-1-piperidinyl)-3-nitrophenyl]- (9CI) (CA INDEX NAME)

RN 443914-69-0 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl][3-amino-4-(3-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 443914-70-3 CAPLUS
CN Methanone, [3-amino-4-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]phenyl][4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 443914-71-4 CAPLUS
CN Methanone, [4-amino-2-[[3-fluoro-4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl][4-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 443914-72-5 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(3-hydroxypropyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3-nitrophenyl)- (9CI) (CA INDEX NAME)

HO-
$$(CH_2)_3$$
 NH NH2

 $C=0$

RN 443914-73-6 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl][4-(1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)

RN443914-74-7 CAPLUS

Methanone, [4-amino-2-[[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl][4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME) CN

RN

443914-75-8 CAPLUS
Benzonitrile, 3-[[4-amino-2-[[4-[4-(3-hydroxypropyl)-1-CN piperazinyl]phenyl]amino]-5-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 443914-76-9 CAPLUS

CN Benzonitrile, 3-[[4-amino-2-[[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 443914-78-1 CAPLUS

CN Benzonitrile, 3-[[4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 443914-79-2 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(3-hydroxypropyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3-methylphenyl)- (9CI) (CA INDEX NAME)

HO-
$$(CH_2)_3$$
 NH NH2

CO

Me

RN 443914-80-5 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(3-hydroxypropyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3-ethylphenyl)- (9CI) (CA INDEX NAME)

RN 443914-81-6 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl](3-ethylphenyl)- (9CI) (CA INDEX NAME)

RN 443914-82-7 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl](3-methylphenyl)- (9CI) (CA INDEX NAME)

RN 443914-83-8 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl][3-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

IT 443915-20-6P 443915-22-8P 443915-24-0P 443915-26-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(claimed compd.; prepn. of 4-amino-5-benzoyl-2-

(piperazinylphenylamino)thiazoles as inhibitors of cyclin-dependent kinase 4)

RN 443915-20-6 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl](4-chloro-3-nitrophenyl)- (9CI) (CA INDEX NAME)

Me
$$NH = NH$$
 NH_2 O_2N O_2N

RN 443915-22-8 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[4-amino-5-(3-fluorobenzoyl)-2-thiazolyl]amino]phenyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

RN 443915-24-0 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[4-amino-5-[4-(1-pyrrolidinyl])benzoyl]-2-thiazolyl]amino]phenyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

RN 443915-26-2 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[4-amino-5-(3-fluoro-4-methoxybenzoyl)-2-thiazolyl]amino]phenyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

443915-59-1P 443915-60-4P 443915-61-5P 443915-62-6P 443915-63-7P 443915-64-8P 443915-65-9P 443915-66-0P 443915-67-1P 443915-68-2P 443915-69-3P 443915-70-6P 443915-71-7P 443915-74-0P 443915-75-1P 443915-76-2P 443915-77-3P 443915-78-4P 443915-79-5P 443915-80-8P 443915-81-9P 443915-82-0P 443915-83-1P 443915-84-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic'use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of 4-amino-5-benzoyl-2-(piperazinylphenylamino)thiazoles as inhibitors of cyclin-dependent kinase 4) RN443915-59-1 CAPLUS Methanone, [4-amino-2-[[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-5-CN thiazolyl](3-fluorophenyl)-, monohydrobromide (9CI) (CA INDEX NAME)

HBr

• HBr

RN 443915-61-5 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3,5-difluorophenyl)-, monohydrobromide (9CI) (CA INDEX NAME)

• HBr

RN 443915-62-6 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(2-methoxyethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3-fluoro-4-methoxyphenyl)-, monohydrobromide (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO-CH}_2\text{-CH}_2 \\ \\ \text{NH} \\ \\ \text{NH} \\ \\ \text{NH} \\ \\ \text{S} \\ \\ \text{OMe} \\ \end{array}$$

• HBr

RN 443915-63-7 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](4-fluoro-3-methoxyphenyl)-, monohydrobromide (9CI) (CA INDEX NAME)

● HBr

RN 443915-64-8 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3-fluoro-4-methoxyphenyl)-, monohydrobromide (9CI) (CA INDEX NAME)

• HBr

RN 443915-65-9 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-5thiazolyl][4-(difluoromethoxy)phenyl]-, monohydrobromide (9CI) (CA INDEX
NAME)

• HBr

RN 443915-66-0 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl][3-(trifluoromethoxy)phenyl]-, monohydrobromide (9CI) (CA INDEX NAME)

• HBr

RN 443915-67-1 CAPLUS

CN Methanone, [4-amino-2-[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl][4-(1-piperidinyl)phenyl]-, monohydrobromide (9CI) (CA INDEX NAME)

RN 443915-68-2 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl][4-(4-morpholinyl)phenyl]-, monohydrobromide (9CI) (CA INDEX NAME)

RN 443915-69-3 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(2-methoxyethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3,5-difluorophenyl)-, monohydrobromide (9CI) (CA INDEX NAME)

HBr

RN 443915-70-6 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(2-methoxyethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3,4-difluorophenyl)-, monohydrobromide (9CI) (CA INDEX NAME)

MeO-
$$CH_2$$
- CH_2

NH
NH
S

C
O

• HBr

RN 443915-71-7 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(2-methoxyethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3-methoxyphenyl)-, monohydrobromide (9CI) (CA INDEX NAME)

• HBr

RN 443915-74-0 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl](3,5-difluorophenyl)-, monohydrobromide (9CI) (CA INDEX NAME)

HBr

RN 443915-75-1 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl](3,5-difluoro-4-methoxyphenyl)-, monohydrobromide (9CI) (CA INDEX NAME)

Me NH
$$\sim$$
 NH \sim NH \sim

HBr

RN 443915-76-2 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl](4-fluoro-3-methoxyphenyl)-, monohydrobromide (9CI) (CA INDEX NAME)

● HBr

RN 443915-77-3 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5thiazolyl][3-(trifluoromethoxy)phenyl]-, monohydrobromide (9CI) (CA INDEX NAME)

HBr

RN 443915-78-4 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(2-hydroxyethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3-fluorophenyl)-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 443914-06-5

CMF C22 H24 F N5 O2 S

CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 443915-79-5 CAPLUS

CN Methanone, [4-amino-2-[[4-(1-piperazinyl)phenyl]amino]-5-thiazolyl](3,4,5-trifluorophenyl)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 443914-04-3 CMF C20 H18 F3 N5 O S

CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 443915-80-8 CAPLUS

CN Methanone, [4-amino-2-[[4-(1-piperazinyl)phenyl]amino]-5-thiazolyl](3,5-difluoro-4-methoxyphenyl)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 443914-34-9 CMF C21 H21 F2 N5 O2 S

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 443915-81-9 CAPLUS

CN Methanone, [4-amino-2-[[4-(1-piperazinyl)phenyl]amino]-5-thiazolyl] (4-fluoro-3-methoxyphenyl)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 443914-35-0

CMF C21 H22 F N5 O2 S

CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 443915-82-0 CAPLUS

CN Methanone, [4-amino-2-[[4-(1-piperazinyl)phenyl]amino]-5-thiazolyl][3-(trifluoromethoxy)phenyl]-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 443914-36-1 CMF C21 H20 F3 N5 O2 S

CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 443915-83-1 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3-hydroxyphenyl)-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 443914-38-3 CMF C23 H27 N5 O2 S

CM 2

CRN 64-19-7 CMF C2 H4 O2

CN

RN 443915-84-2 CAPLUS

Methanone, [4-amino-2-[[4-[4-(2-methylpropyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](3-hydroxyphenyl)-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 443914-39-4 CMF C24 H29 N5 O2 S

CM 2

CRN 64-19-7 CMF C2 H4 O2

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L4
    ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS
AN
     2002:123015 CAPLUS
     136:167372
DN
     Preparation of 5-amino-3-substituted-pyrazolo[4,5-d]thiazole compds. as
ΤI
     inhibitors of cyclin-dependent kinases
IN
     Chong, Wesley Kwan Mung; Duvadie, Rohit Kumar
PA
     Agouron Pharmaceuticals, Inc., USA
SO
     PCT Int. Appl., 53 pp.
     CODEN: PIXXD2
DT
     Patent
    English
LA
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO.
                                                            DATE
                      ----
                           -----
                                           -----
ΡI
    WO 2002012250
                      A2
                            20020214
                                           WO 2001-US41466 20010731
    WO 2002012250
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     WO 2002012250
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                            20020906
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             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,
             VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
    AU 2001077285
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                                         AU 2001-77285
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                      A2
                            20030507
                                           EP 2001-955084 20010731
           AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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    US 2002049215
                      A1
                            20020425
                                           US 2001-923432
                                                            20010808
    US 6566363
                       B2
                            20030520
PRAI US 2000-223989P
                       Ρ
                            20000809
     WO 2001-US41466
                       W
                            20010731
os
    MARPAT 136:167372
GI
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$$R_2-NH$$
 N
 N
 R_1
 R_1

The prepn. of pyrazole-thiazole compds. [I; wherein R1, R2, independently AΒ = alkyl, aryl, heteroaryl, cycloalkyl, heterocycloalkyl] that modulate and/or inhibit the activity of cyclin-dependent kinases (CDK's) is. described. Thus, a mixt. of 5-amino-4-bromo-3-phenylpyrazole and 4-methoxyphenylisothiocyanate in dioxane was refluxed to give 74% 5-(4-methoxyphenylamino)-3-phenyl-1H-pyrazolo[4,5-d]thiazole.bul.HBr salt. The invention also describes the use of such compds. in treating cancer as well as other disease states assocd. with unwanted angiogenesis and/or cellular proliferation, such as tumor growth, cell proliferation, diabetic retinopathy, glaucoma, rheumatoid arthritis, and psoriasis, by administering effective amts. of such compds. Biol. data are given. IT 223784-70-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyrazole-thiazole compds. as inhibitors of cyclin-dependent kinases)

RN 223784-70-1 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl](2,6-difluorophenyl)- (9CI) (CA INDEX NAME)

```
L4
     ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS
AN
     1999:297411 CAPLUS
     130:325142
DN
ΤI
     Preparation of 4-aminothiazole derivatives as inhibitors of
     cyclin-dependent kinases
IN
     Chong, Wesley K. M.; Chu, Shao Song; Duvadie, Rohit R.; Li, Lin; Xiao,
     Wei; Yang, Yi
     Agouron Pharmaceuticals, Inc., USA
PΑ
     PCT Int. Appl., 172 pp.
so
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                         APPLICATION NO. DATE
                                          ------
     WO 9921845
PΙ
                     A2
                           19990506
                                         WO 1998-US22809 19981027
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             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
            NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
            UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RŪ, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                           19990506
     CA 2306082
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                                         CA 1998-2306082 19981027
     AU 9913664
                                           AU 1999-13664
                      A1
                            19990517
                                                            19981027
     AU 738792
                      B2
                            20010927
     EP 1056732
                      A2
                            20001206
                                          EP 1998-957393
                                                            19981027
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     SI 20324
                      C
                            20010228
                                           SI 1998-20068
                                                            19981027
     EE 200000289
                                           EE 2000-20000028919981027
                       Α
                            20010615
     BR 9815200
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                            20011016
                                           BR 1998-15200
                                                            19981027
     EP 1215208
                                           EP 2002-1881
                      A2
                            20020619
                                                            19981027
     EP 1215208
                            20020904
                      Α3
           AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL
     US 6569878
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                                          US 1998-179744
                                                            19981027
     NO 2000001955
                                                            20000414
                      Α
                            20000616
                                           NO 2000-1955
     LT 4855
                      В
                            20011126
                                          LT 2000-33
                                                            20000414
     MX 200003812
                      Α
                            20001113
                                           MX 2000-3812
                                                            20000418
     LV 12592
                      В
                            20010720
                                           LV 2000-51
                                                            20000503
     BG 104478
                       Α
                            20010228
                                           BG 2000-104478
                                                            20000526
PRAI US 1997-63634P
                       Р
                            19971027
     US 1997-63666P
                      Ρ
                            19971028
     EP 1998-957393
                      A3
                            19981027
     WO 1998-US22809
                       W
                            19981027
os
     MARPAT 130:325142
GI
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AB Title compds. [I; wherein R1 is a (un) substituted group selected from:

alkyl, alkenyl, alkoxyl, alc., carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, cycloalkyl; carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, aryl, etc.; R2 is a carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, ring structure having a substituent at the position adjacent to the point of attachment, which ring structure is optionally further substituted, where each substituent of R independently is a halogen, haloalkyl, C-alkyl, C-alkenyl, C-alkynyl, hydroxyl, C-alkoxyl, amino, nitro, thiol, thioether, imine, cyano, amido, phosphonato, phosphine, carboxyl, thiocarbonyl, sulfonyl, sulfonamide, ketone, aldehyde, ester, oxygen, carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, cycloalkyl; or carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, aryl], a pharmaceutically acceptable salt, a prodrug, pharmaceutically active metabolite of title compd., or pharmaceutically acceptable salt thereof, are prepd. as inhibitors of cyclin-dependent kinases (CDKs: CDK1, CDK2, CDK4, and CDK6) to the therapeutic or prophylactic use of pharmaceutical compns. contg. such compds. and to methods of treating malignancies and other disorders by administering effective amts. of such compds. Thus, I (R1 = C6H5; R2 = 3-NO2C6H4) was prepd. with 52% yield from cyanamide, isothiocyanate, and 2-bromo-3'-nitroacetophenone in the presence of sodium.

2-Bromo-3'-nitroacetopnenone in the pre
223784-60-9P 223784-70-1P 223784-71-2P
223784-76-7P 223784-87-0P 223784-88-1P
223784-91-6P 223784-92-7P 223785-10-2P
223785-14-6P 223785-16-8P 223785-21-5P
223785-23-7P 223785-25-9P 223785-27-1P
223785-31-7P 223785-44-2P 223785-45-3P
223785-46-4P 223785-47-5P 223785-49-7P

223785-51-1P 223785-52-2P 223785-53-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Prepn. of 4-aminothiazoles as inhibitors of cyclin-dependent kinases) 223784-60-9 CAPLUS

Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl](2,6-dichlorophenyl)- (9CI) (CA INDEX NAME)

RN 223784-70-1 CAPLUS
CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5thiazolyl](2,6-difluorophenyl)- (9CI) (CA INDEX NAME)

RN

CN

RN 223784-71-2 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl][2,6-difluoro-4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 223784-76-7 CAPLUS

CN Acetamide, N-[4-[[4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl]carbonyl]-3,5-dichlorophenyl]- (9CI) (CA INDEX NAME)

Me
$$NH \longrightarrow NH_2$$
 $C1 \longrightarrow C1$ $NHAC$

RN 223784-87-0 CAPLUS

CN Methanone, (3-amino-4-bromo-2,6-dichlorophenyl) [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl]- (9CI) (CA INDEX NAME)

RN 223784-88-1 CAPLUS

CN Piperazine, 1-acetyl-4-[4-[4-[4-amino-5-(2,6-dichlorobenzoyl)-2-thiazolyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 223784-91-6 CAPLUS

CN Methanone, [4-amino-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-thiazolyl] [2-chloro-6-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Me
$$NH \longrightarrow NH_2$$
 $C = 0$
 $F_3C \longrightarrow C1$

RN 223784-92-7 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

223784-96-1 CAPLUS RN

CN 1-Piperazinecarboxylic acid, 4-[4-[[4-amino-5-(2,6-dichlorobenzoyl)-2thiazolyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN223784-97-2 CAPLUS

CN1-Piperazinecarboxylic acid, 4-[4-[[5-[3-(acetylamino)-2,6dichlorobenzoyl]-4-amino-2-thiazolyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN

223785-03-3 CAPLUS
Piperazine, 1-acetyl-4-[4-[4-amino-5-(2,6-difluorobenzoyl)-2-CNthiazolyl]amino]phenyl] - (9CI) (CA INDEX NAME)

RN 223785-10-2 CAPLUS

CN Piperazinone, 4-[4-[[4-amino-5-(2,6-difluorobenzoy1)-2-thiazolyl]amino]phenyl]-1-methyl- (9CI) (CA INDEX NAME)

RN 223785-14-6 CAPLUS

CN Piperazinone, 4-[4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 223785-16-8 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(cyclopropylmethyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](2,6-difluorophenyl)- (9CI) (CAINDEX NAME)

RN 223785-21-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 223785-23-7 CAPLUS

CN Methanone, [4-amino-2-[[4-[(3R)-3,4-dimethyl-1-piperazinyl]phenyl]amino]-5-thiazolyl](2,6-difluorophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 223785-25-9 CAPLUS

CN Methanone, [4-amino-2-[[4-[(3S)-3,4-dimethyl-1-piperazinyl]phenyl]amino]-5-thiazolyl](2,6-difluorophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 223785-27-1 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(4-pyridinyl)-1-piperazinyl]phenyl]amino]-5-thiazolyl](2,6-difluorophenyl)- (9CI) (CA INDEX NAME)

RN 223785-31-7 CAPLUS

CN Methanone, [4-amino-2-[[4-[4-(2,2,2-trifluoroethyl)-1 piperazinyl]phenyl]amino]-5-thiazolyl](2,6-difluorophenyl)- (9CI) (CA
 INDEX NAME)

RN 223785-44-2 CAPLUS

CN Methanone, (3-amino-2,6-dichlorophenyl)[4-amino-2-[[4-(1-piperazinyl)phenyl]amino]-5-thiazolyl]-, trihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

●3 HCl

RN 223785-45-3 CAPLUS

CN Methanone, [4-amino-2-[[4-(1-piperazinyl)phenyl]amino]-5-thiazolyl](2,6-dichlorophenyl)- (9CI) (CA INDEX NAME)

RN 223785-46-4 CAPLUS

CN Methanone, [4-amino-2-[[4-(1-piperazinyl)phenyl]amino]-5-thiazolyl](2,4,6-trichlorophenyl)- (9CI) (CA INDEX NAME)

RN 223785-47-5 CAPLUS

CN Methanone, [4-amino-2-[[4-(1-piperazinyl)phenyl]amino]-5-thiazolyl](2,6-difluorophenyl)- (9CI) (CA INDEX NAME)

RN 223785-49-7 CAPLUS

CN Methanone, [4-amino-2-[[4-(1-piperazinyl)phenyl]amino]-5-thiazolyl](2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 223785-51-1 CAPLUS

CN Methanone, [4-amino-2-[[4-[(3R,5S)-3,5-dimethyl-1-piperazinyl]phenyl]amino]-5-thiazolyl](2,6-difluorophenyl)-, rel-(9CI)(CA INDEX NAME)

Relative stereochemistry.

RN 223785-52-2 CAPLUS

CN Methanone, [4-amino-2-[[4-(3,3-dimethyl-1-piperazinyl)phenyl]amino]-5-thiazolyl](2,6-difluorophenyl)- (9CI) (CA INDEX NAME)

RN223785-53-3 CAPLUS

 $\label{lem:methanone, amino-2-[4-[4-(2-pyridinyl)-1-piperazinyl]phenyl]amino]-5-} \\$ CNthiazolyl](2,6-difluorophenyl)- (9CI) (CA INDEX NAME)

IT 223786-31-0P 223786-32-1P 223786-41-2P 223786-47-8P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

> (Prepn. of 4-aminothiazoles as inhibitors of cyclin-dependent kinases)

223786-31-0 CAPLUS RN

CN 1-Piperazinecarboxylic acid, 4-[4-[[4-amino-5-(2,4,6-trichlorobenzoyl)-2thiazolyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN223786-32-1 CAPLUS

CN1-Piperazinecarboxylic acid, 4-[4-[[4-amino-5-(2,4,6-trifluorobenzoyl)-2thiazolyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 223786-41-2 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]phenyl]-2,6-dimethyl-, 1,1-dimethylethyl ester, (2R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 223786-47-8 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]phenyl]-2,2-dimethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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